

Application No.: 10/081,642

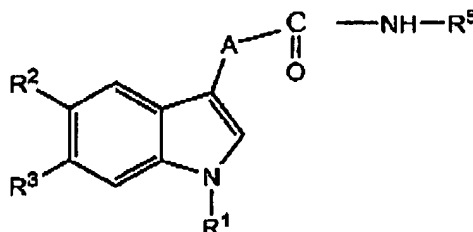
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IN THE CLAIMS

Claims 1-63 (canceled)

64. (new) A process for preparing a compound of formula 1



or a salt thereof, wherein

R^1 is a straight or branched C_{1-12} alkyl optionally substituted with phenyl, or C_{3-8} cycloalkyl radical wherein the phenyl radical is optionally substituted with a halo, nitro, hydroxy, C_{1-4} alkyl, C_{1-4} alkoxy, or $COOH$;

R^2 and R^3 are each independently of each other hydrogen or an OH radical where at least one of R^2 and R^3 are $-OH$;

R^5 is a phenyl or pyridyl radical substituted with at least one halogen radical and is optionally further substituted with $-H$, $-OH$, $-SH$, $-NH_2$, $-NHC_{1-6}$ alkyl, $-N(C_{1-6}$ alkyl) $_2$, $-NHC_{6-14}$ aryl, $-N(C_{6-14}$ aryl) $_2$, $-N(C_{1-6}$ alkyl)(C_{6-14} aryl), $-NHCOR^6$, $-NO_2$, $-CN$, $-COOH$, $-(CO)R^6$, $-(CS)R^6$, $-F$, $-Cl$, $-Br$, $-I$, $-O-C_{1-6}$ alkyl, $-O-C_{6-14}$ aryl, $-O(CO)R^6$, $-S-C_{1-6}$ alkyl, $-S-C_{6-14}$ aryl, $-SOR^6$, or $-SO_2R^6$; and

A is a bond, $C=O$, or a $CHOH$ radical or a pharmaceutically acceptable salt thereof,

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which method comprises converting a compound of formula (I), wherein R^2 or R^3 or R^2 and R^3 are $O-R^7$, into the compound of formula (I) by removing R^7 , wherein R^7 is a substituent that is a protecting group selected from the group consisting of alkyl and aralkyl Lewis acid to cleave the ether and remove R^7 , to yield the compound of formula (I), wherein said compound of formula (I) is selected from the group consisting of N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-hydroxyacetamide, N-(3,5-dichloropyridin-4-yl)-2-(1-(2,6-difluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide, N-(3,5-dichloropyridin-4-yl)-2-(1-(3-nitrobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide, N-(3,5-dichloropyridin-4-yl)-2-(1-propyl-5-hydroxyindol-3-yl)-2-oxoacetamide, N-(3,5-dichloropyridin-4-yl)-2-(1-isopropyl-5-hydroxyindol-3-yl)-2-oxoacetamide, N-(3,5-dichloropyridin-4-yl)-2-(1-cyclopentylmethyl-5-hydroxyindol-3-yl)-2-oxoacetamide, N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-6-hydroxyindol-3-yl)-2-oxoacetamide, N-(3,5-dichloropyridin-4-yl)-5-hydroxy-1-(4-methoxybenzyl)indole-3-carboxamide and N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide.

65. (new) The method of claim 64, wherein R^3 is substituted with one or two halogens.

66. (new) The method of claim 64, wherein R^1 is an optionally substituted C_1 - C_2 alkyl.

67. (new) The method of claim 66, wherein R^1 is an optionally substituted C_1 - C_2 alkyl.

68. (new) The method of claim 64, wherein R^7 is methyl or ethyl.

69. (new) The method of claim 68, wherein R^7 is methyl.

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70. (new) The method of claim 64, wherein the Lewis acid is selected from the group consisting of BBr_3 and AlCl_3 .

71. (new) The method of claim 70, wherein said Lewis acid is BBr_3 .

72. (new) The method of claim 70, wherein removal of R^7 is in the presence of an additional activator.

73. (new) The method of claim 72, wherein the additional activator is selected from the group consisting of ethane-1,2-dithiol and benzyl mercaptan.

74. (new) The method of claim 70, wherein said Lewis acid is AlCl_3 .

75. (new) The method of claim 64, wherein the ether cleavage is conducted at elevated or normal pressure.

76. (new) The method of claim 64, wherein the ether cleavage takes place in the presence of a suitable catalyst.

77. (new) A method for producing N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide by reacting a solution of N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-methoxyindol-3-yl)-2-oxoacetamide with BBr_3 while heating to form a heated solution, cooling the heated solution to yield a cooled solution, and mixing the cooled solution with an aqueous sodium hydrogencarbonate solution to crystallize the N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide.

78. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide sodium salt.

79. (new) The method of claim 77, wherein the solution is stirred during heating.

80. (new) The method of claim 79, wherein the solution is stirred during cooling.

81. (new) The method of claim 77, further comprising recovering the crystallized N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide.

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82. (new) The method of claim 80, further comprising recovering the crystallized N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide.

83. (new) The method of claim 82, wherein the solution is cooled to 20°C.

84. (new) The method of claim 77, further comprising recrystallizing the crystallized N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide.

85. (new) The method of claim 64, wherein the compound is a pharmaceutically acceptable salt of the compound.

86. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-5-hydroxyindol-3-yl)-2-hydroxyacetamide.

87. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-2-(2,6-difluorobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide.

88. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-2-(1-(3-nitrobenzyl)-5-hydroxyindol-3-yl)-2-oxoacetamide.

89. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-2-(1-propyl-5-hydroxyindol-3-yl)-2-oxoacetamide.

90. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-2-(1-isopropyl-5-hydroxyindol-3-yl)-2-oxoacetamide.

91. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-2-(1-cyclopentylmethyl-5-hydroxyindol-3-yl)-2-oxoacetamide.

92. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-2-(1-(4-fluorobenzyl)-6-hydroxyindol-3-yl)-2-oxoacetamide.

93. (new) The method of claim 64, wherein the compound is N-(3,5-dichloropyridin-4-yl)-5-hydroxy-1-(4-methoxybenzyl)indole-3-carboxamide.